We Claim:

1 1. Compounds having the structure of Formula I: 2 3 4 5 6 7 8 Formula I 9 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, 10 enantiomers, diastereomers or N-oxides wherein 11 1) when X is oxygen in Formula I: 12 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; 13 substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR' 14 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, 15 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); 16 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR' 17 (wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub> 18 (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub> 19 alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, 20 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or 21  $(CH_2)_m$ - $C(=O)R_3$ 22 [wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted 23  $R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or 24 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the 25 ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=0) through N and R<sub>0</sub> can be a 4-12 membered 26 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected 27 from the group consisting of N, O and S wherein the ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=0) through C) and wherein the substituents of R<sub>3</sub> can be one or more 28 29 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, 30 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,

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31 optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, 32 C(=O)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, 33 alkyl,  $C_{3-6}$  alkenyl,  $C_{3-6}$  alkynyl, aryl, and aralkyl), optionally substituted 34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the 35 36 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, 37 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or 38 heterocyclylalkyll; 39 R<sub>2</sub> is selected from: cyano; heteroaryl; heterocyclyl; or (CH<sub>2</sub>)<sub>n</sub>NHCOR<sub>7</sub> (wherein n 40 represents an integer 1 to 6 and R7 can represent hydrogen, alkyl, alkenyl, alkynyl, 41 (un)saturated, cycloalkyl, alkoxy, aryloxy, aryl, aralkyl, heteroaryl, heterocyclyl,  $(CH_2)_{1,\Delta}OR'$  wherein R' is the same as defined above, or  $NR_xR_v$  wherein  $R_x$  and  $R_v$  are the 42 43 same as defined above); 44 R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=0)NR<sub>x</sub>R<sub>y</sub> wherein  $R_x$  and  $R_y$  are the same as defined above; 45 46 X<sub>1</sub> and X<sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl; 47 Y is selected from: an oxygen atom; a sulphur atom; or NR 48 (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated) 49 50 cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or 51 (heterocyclyl)alkyl); 52 Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR 53 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same 54 as defined above, or further, Y<sub>1</sub> and X<sub>2</sub>, X<sub>1</sub> and Y<sub>2</sub>, X<sub>1</sub> and X<sub>2</sub> may together form a ring 55 56 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 57 heteroatoms selected from N, O or S; and 2) when X is NR<sub>8</sub> or S wherein R<sub>8</sub> is hydrogen, lower alkyl ( $C_1$ - $C_6$ ) or aryl: 58 59  $R_1, R_4, X_1, X_2, Y, Y_1$  and  $Y_2$  are the same as defined above;

60 R<sub>2</sub> is selected from: (CH)<sub>n</sub>NHCOR<sub>7</sub> (wherein n represents an integer 1 to 6 and R<sub>7</sub> is the

61 same as defined above),

62 with the provisio that when R<sub>2</sub> is heterocyclyl, R<sub>1</sub> can not be (CH<sub>2</sub>)<sub>1-4</sub>OR', C(=O)NR<sub>x</sub>R<sub>y</sub> or

63  $(CH_2)_m$ - $C(=O)R_3$ .

1 2. A compound having the structure of Formula XXXIV,

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Formula XXXIV

8 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

9 enantiomers, diastereomers or N-oxides

10 wherein

11 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

12 substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

13 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

14 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

15 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH2)14OR'

16 (wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

17 (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>

18 alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

20  $(CH_2)_m - C(=O)R_3$ 

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21 [wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted 22  $R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or 23 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the 24 ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=0) through N and R<sub>0</sub> can be a 4-12 membered 25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected

from the group consisting of N, O and S wherein the ring can be attached to

27 (CH<sub>2</sub>)<sub>m</sub>C(=0) through C) and wherein the substituents of R<sub>3</sub> can be one or more 28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy. aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, 29 30 optionally substituted amino (wherein the substituents are selected from C1-C6 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, 31 32 C(=0)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, 33 alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, aryl, and aralkyl), optionally substituted 34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the 35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, 36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or 37 heterocyclylalkyl]; 38 R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein 39  $R_x$  and  $R_y$  are the same as defined above; 40  $X_1$  and  $X_2$  are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; 41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl; 42 Y is selected from: an oxygen atom; a sulphur atom; or NR 43 (wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated) 44 cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or 45 (heterocyclyl)alkyl); 46 Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR 47 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; 48 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same 49 as defined above, or further, Y<sub>1</sub> and X<sub>2</sub>, X<sub>1</sub> and Y<sub>2</sub>, X<sub>1</sub> and X<sub>2</sub> may together form a ring 50 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 51 heteroatoms selected from N, O or S; and 52 R<sub>19</sub> represents -CONHNH<sub>2</sub>, or c=N-0-c-R', wherein R' is the same as defined for Formula I. 53

54 3. The compound of claim 1 having the structure of Formula XXXII,

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Formula XXXII

62 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

63 enantiomers, diastereomers or N-oxides wherein

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65 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

66 substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

67 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH2)1-4OR'

70 (wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

(wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>

alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

74  $(CH_2)_m$ - $C(=O)R_3$ 

[wherein m is an integer in the range of 0-2 and  $R_3$  can be optionally substituted  $R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to  $(CH_2)_mC(=O)$  through N and  $R_q$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to  $(CH_2)_mC(=O)$  through C) and wherein the substituents of  $R_3$  can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,

84	optionally substituted amino (wherein the substituents are selected from $C_1$ - $C_6$
85	alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
86	C(=O)NR <sub>5</sub> R <sub>6</sub> (wherein R <sub>5</sub> and R <sub>6</sub> are independently selected from hydrogen,
87	alkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, aryl, and aralkyl), optionally substituted
88	monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
89	optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
90	hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
91	heterocyclylalkyl];
92	R <sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR <sub>x</sub> R <sub>y</sub> wherein
93	$R_x$ and $R_y$ are the same as defined above;
94	Y is selected from: an oxygen atom; a sulphur atom; or NR
95	(wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated)
96	cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or
97	(heterocyclyl)alkyl);
98	Y <sub>1</sub> and Y <sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
99	wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
100	NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
101	as defined above, or further, $Y_1$ and $X_2$ , $X_1$ and $Y_2$ , $X_1$ and $X_2$ may together form a ring
102	fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
103	heteroatoms selected from N, O or S;
104	X <sub>1</sub> represents alkyl;
105	X <sub>2</sub> represents alkyl, cycloalkyl or aralkyl;
106	X <sub>3</sub> , X <sub>4</sub> , X <sub>5</sub> and X <sub>6</sub> independently represent C, CH, CH <sub>2</sub> , CO, CS, NH, N, O, S; R <sub>15</sub> ,
107	R <sub>16</sub> , and R <sub>17</sub> independently represent no atom, alkyl, COCH <sub>3</sub> , COOC <sub>2</sub> H <sub>5</sub> , NH <sub>2</sub> ,
108	NH-cyclopropyl, CN, SH; and
109	represents an optional single bond.

4. The compound of claim 1 having the structure of Formula XXIII,

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R<sub>18</sub>
Formula XXXIII

10 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

11 enantiomers, diastereomers or N-oxides wherein

12 wherein

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13 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

(wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

19 (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>

20 alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

21 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

22  $(CH_2)_m$ - $C(=O)R_3$ 

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[wherein m is an integer in the range of 0-2 and  $R_3$  can be optionally substituted  $R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to  $(CH_2)_mC(=O)$  through N and  $R_q$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to  $(CH_2)_mC(=O)$  through C) and wherein the substituents of  $R_3$  can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,

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32	optionally substituted amino (wherein the substituents are selected from C <sub>1</sub> -C <sub>6</sub>
33	alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
34	C(=O)NR <sub>5</sub> R <sub>6</sub> (wherein R <sub>5</sub> and R <sub>6</sub> are independently selected from hydrogen,
35	alkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, aryl, and aralkyl), optionally substituted
36	monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
37	optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
38	hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
39	heterocyclylalkyl];
40	R <sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=0)NR <sub>x</sub> R <sub>y</sub> wherein
41	$R_x$ and $R_y$ are the same as defined above;
42	X <sub>1</sub> and X <sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
43	acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
44	Y is selected from: an oxygen atom; a sulphur atom; or NR
45	(wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated)
46	cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or
47	(heterocyclyl)alkyl);
48	Y <sub>1</sub> and Y <sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
49	wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
50	NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
51	as defined above, or further, $Y_1$ and $X_2$ , $X_1$ and $Y_2$ , $X_1$ and $X_2$ may together form a ring
52	fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
53	heteroatoms selected from N, O or S;
54	X <sub>7</sub> represents O or S; and
55	R <sub>18</sub> represents hydrogen, alkyl, aryl, heteroaryl, cycloalkyl or heterocyclyl.
1	5. The compound of claim 1 wherein R <sub>2</sub> is cyano.
1	6. The compound of claim 1 wherein R <sub>2</sub> is (CH <sub>2</sub> ) <sub>n</sub> NHCOR <sub>7</sub> , n represents an integer 1
2	to 6; and R7 can represent hydrogen, alkyl, alkenyl, alkynyl, (un)saturated, cycloalkyl,
3	alkoxy, aryloxy, aryl, aralkyl, heteroaryl, heterocyclyl, (CH <sub>2</sub> ) <sub>1-4</sub> OR' wherein R' is the same

as defined above, or  $NR_xR_y$  (wherein  $R_x$  and  $R_y$  can be independently selected from

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- 5 hydrogen, alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl,
- 6 heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl).
- 1 7. The compound of claim 1 wherein  $R_2$  is 6-membered heteroaryl.
- 1 8. A pharmaceutical composition comprising a therapeutically effective amount of a
- 2 compound of claim 1, together with at least one pharmaceutically acceptable
- 3 carrier, excipient or diluent.
- 1 9. A method for treating, preventing, inhibiting or suppressing an inflammatory
- 2 condition or disease in a patient, comprising administering to the said patient a
- 3 therapeutically effective amount of a compound of claim 1.
- 1 10. A method for treating, preventing, inhibiting or suppressing an inflammatory
- 2 condition or disease in a patient, comprising administering to the said patient a
- 3 therapeutically effective amount of a pharmaceutical composition of claim 8.
- 1 11. A method for the treatment, prevention, inhibition or suppression of AIDS, asthma,
- 2 arthritis, bronchitis, chronic obstructive pulmonary disease (COPD), psoriasis,
- 3 allergic rhinitis, shock, atopic dermatitis, crohn's disease, adult respiratory distress
- 4 syndrome (ARDS), eosinophilic granuloma, allergic conjunctivitis, osteoarthritis,
- 5 ulcerative colitis and other inflammatory diseases in a patient comprising
- 6 administering to said patient a therapeutically effective amount of a compound of
- 7 claim 1.
- 1 12. A method for the treatment, prevention, inhibition or suppression of AIDS, asthma,
- arthritis, bronchitis, chronic obstructive pulmonary disease (COPD), psoriasis,
- 3 allergic rhinitis, shock, atopic dermatitis, crohn's disease, adult respiratory distress
- 4 syndrome (ARDS), eosinophilic granuloma, allergic conjunctivitis, osteoarthritis,
- 5 ulcerative colitis and other inflammatory diseases in a patient comprising
- 6 administering to said patient a therapeutically effective amount of a pharmaceutical
- 7 composition of claim 8.

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13. A method for the preparation of compounds of Formula VII (a),

$$X_{2}$$

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{5}$$

$$X_{5}$$

$$X_{5}$$

$$X_{7}$$

$$X_{7$$

7 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

8 enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula II

with a compound of Formula X<sub>2</sub>Z (wherein Z is halogen) to give a compound of Formula

16 III, wherein

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$$X_2$$
  $Y_1$   $O$   $H$  19  $X_1$   $O$   $Y_2$  Formula III

21 X<sub>1</sub> and X<sub>2</sub> are independently selected from: alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl;

aralkyl; heterocyclyl; (heterocyclyl)alkyl; or (heterocyclyl)alkyl;

23 Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR

24 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;

25 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same

as defined above, or further,  $Y_1$  and  $X_2$ ,  $X_1$  and  $Y_2$ ,  $X_1$  and  $X_2$  may together form a ring

fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
heteroatoms selected from N, O or S;

reacting the compound of Formula III with hydroxylamine hydrochloride to give a compound of Formula IV;

treating the compound of Formula IV with a compound of Formula V to give a compound of Formula VI

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Formula V
$$X_{2}$$

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$Y_{2}$$

$$Y_{2}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{2}$$

$$Y_{3}$$

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$$Y_{3}$$

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$$Y_{2}$$

$$Y_{3}$$

$$Y_{4}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{4}$$

$$Y_{2}$$

$$Y_{3}$$

$$Y_{4}$$

$$Y_{5}$$

44 wherein

45 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

47 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

50 (wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

(wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>

52 alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

54  $(CH_2)_{m}$ - $C(=O)R_3$ 

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[wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted

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 $R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=0) through N and R<sub>q</sub> can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through C) and wherein the substituents of R<sub>3</sub> can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=0)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, aryl, and aralkyl), optionally substituted monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl];

 $R_4$  is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or  $C(=O)NR_xR_y$  wherein  $R_x$  and  $R_y$  are the same as defined above;

and Rr represents [(CH<sub>2</sub>)<sub>n</sub>CN, COOH, COOCH<sub>3</sub>, CHO or pyridyl, wherein n is 0 to 2)];

reacting the compound of Formula VI with hydroxylamine hydrochloride (when Rr is CN) to give a compound of Formula VII; and

$$X_2$$
 $Y_1$ 
 $N = 0$ 
 $R_1$ 
 $N = 0$ 
 $N$ 

Formula VII

reacting the compound of Formula VII with a compound of Formula (R'CO)<sub>2</sub>O to give the compound of Formula VII(a) (wherein R' can be hydrogen, alkyl, alkenyl,

- alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl).
  - 14. A method for the preparation of compounds of Formula IX,

- 7 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
- 8 enantiomers, diastereomers or N-oxides, the method comprising:
- 9 reacting a compound of Formula VI (when Rr is COOCH<sub>3</sub>) with hydrazine hydrate 10 to give a compounds of Formula VIII

11
12
$$X_2$$
 $Y_1$ 
 $Y_1$ 
 $Y_1$ 
 $Y_1$ 
 $Y_1$ 
 $Y_2$ 
 $Y_1$ 
 $Y_2$ 
 $Y_1$ 
 $Y_2$ 
Formula VIII

wherein

17

- 19 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;
- 20 substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'
- 21 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,
- aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);
- 23 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; ( $CH_2$ )<sub>1-4</sub>OR'
- 24 (wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>
- (wherein  $R_x$  and  $R_y$  can be independently selected from hydrogen, alkyl,  $C_{3-6}$
- alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
- 27 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

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28	$(CH_2)_m$ - $C(=U)R_3$
29	[wherein m is an integer in the range of 0-2 and R <sub>3</sub> can be optionally substituted
30	$R_p$ or $R_q$ (wherein $R_p$ can be a 4-12 membered (un)saturated monocyclic or
31	bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
32	ring can be attached to (CH <sub>2</sub> ) <sub>m</sub> C(=0) through N and R <sub>q</sub> can be a 4-12 membered
33	(un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
34	from the group consisting of N, O and S wherein the ring can be attached to
35	(CH <sub>2</sub> ) <sub>m</sub> C(=O) through C) and wherein the substituents of R <sub>3</sub> can be one or more
36	of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
37	aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
38	optionally substituted amino (wherein the substituents are selected from C1-C6
39	alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
40	C(=O)NR <sub>5</sub> R <sub>6</sub> (wherein R <sub>5</sub> and R <sub>6</sub> are independently selected from hydrogen,
41	alkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, aryl, and aralkyl), optionally substituted
42	monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
43	optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
44	hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
45	heterocyclylalkyl];
46	R <sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=0)NR <sub>x</sub> R <sub>y</sub> wherein
47	$R_x$ and $R_y$ are the same as defined above;
48	$X_1$ and $X_2$ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl
49	acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
50	Y is selected from: an oxygen atom; a sulphur atom; or NR
51	(wherein R is selected from hydrogen, alkyl, alkenyl, alkynyl, un(saturated)
52	cycloalkyl, acyl, aryl, aralkyl, heteroaryl, heterocyclyl, (heteroaryl)alkyl, or
53	(heterocyclyl)alkyl);
54	$Y_1$ and $Y_2$ are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
55	wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
56	NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
57	as defined above, or further, Y <sub>1</sub> and X <sub>2</sub> , X <sub>1</sub> and Y <sub>2</sub> , X <sub>1</sub> and X <sub>2</sub> may together form a ring

- 58 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
- 59 heteroatoms selected from N, O or S;
- reacting the compound of Formula VIII with a compound of Formula HC(OR<sub>11</sub>)<sub>3</sub>
- to give a compound of Formula IX (wherein  $R_{11}$  represents alkyl from  $C_1$  to  $C_3$ ).
- 1 15. A method for the preparation of compounds of Formula X,

$$X_2$$
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_2$ 
 $X_3$ 
 $X_4$ 
 $X_2$ 
 $X_4$ 
 $X_5$ 
 $X_5$ 
 $X_5$ 
 $X_5$ 
 $X_5$ 
 $X_6$ 
 $X_7$ 
 $X_7$ 

Formula X

- 7 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
- 8 enantiomers, diastereomers or N-oxides, the method comprising:
- 9 reacting a compound of Formula VI (when Rr is CN)

15 wherein

- 16 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;
- 17 substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'
- 18 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,
- aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);
- aryl; aralkyl; heterocyclyl; (heterocyclyl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'
- 21 (wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>
- 22 (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>
- 23 alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
- 24 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or
- 25  $(CH_2)_m$ - $C(=O)R_3$

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26 [wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted 27  $R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or 28 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the 29 ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=0) through N and R<sub>0</sub> can be a 4-12 membered 30 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected 31 from the group consisting of N, O and S wherein the ring can be attached to 32 (CH<sub>2</sub>)<sub>m</sub>C(=0) through C) and wherein the substituents of R<sub>3</sub> can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, 33 34 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, 35 optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> 36 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, 37  $C(=0)NR_5R_6$  (wherein  $R_5$  and  $R_6$  are independently selected from hydrogen, 38 alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, aryl, and aralkyl), optionally substituted 39 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the 40 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, 41 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or 42 heterocyclylalkyl]; 43 R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein 44  $R_x$  and  $R_y$  are the same as defined above; 45 X<sub>1</sub> and X<sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; 46 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl; 47 Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR 48 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; 49 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same 50 as defined above, or further,  $Y_1$  and  $X_2$ ,  $X_1$  and  $Y_2$ ,  $X_1$  and  $X_2$  may together form a ring 51 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 52 heteroatoms selected from N, O or S;

with sodium azide to give the compound of Formula X.

1 16. A method for the preparation of compounds of Formula XI,

6 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

7 enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula VII

9
$$X_{2}$$

$$Y_{1}$$

$$NOH$$
11
$$X_{1}$$

$$Y_{2}$$

$$Y_{2}$$

$$NH_{2}$$

$$Y_{3}$$
Formula VII

14 wherein

8

15 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

17 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

20 (wherein R' is as defined above, but also including hydroxy); C(=0)NR<sub>x</sub>R<sub>y</sub>

21 (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>

22 alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

23 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

24  $(CH_2)_m$ - $C(=O)R_3$ 

25

[wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted

26 R<sub>p</sub> or R<sub>q</sub> (wherein R<sub>p</sub> can be a 4-12 membered (un)saturated monocyclic or

bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the

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28	ring can be attached to (CH <sub>2</sub> ) <sub>m</sub> C(=O) through N and R <sub>q</sub> can be a 4-12 membered
29	(un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
30	from the group consisting of N, O and S wherein the ring can be attached to
31	(CH <sub>2</sub> ) <sub>m</sub> C(=0) through C) and wherein the substituents of R <sub>3</sub> can be one or more
32	of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
33	aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
34	optionally substituted amino (wherein the substituents are selected from C <sub>1</sub> -C <sub>6</sub>
35	alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
36	C(=O)NR <sub>5</sub> R <sub>6</sub> (wherein R <sub>5</sub> and R <sub>6</sub> are independently selected from hydrogen,
37	alkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, aryl, and aralkyl), optionally substituted
38	monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
39	optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
40	hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
41	heterocyclylalkyl];
42	R <sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR <sub>x</sub> R <sub>y</sub> wherein
43	$R_x$ and $R_y$ are the same as defined above;
44	X <sub>1</sub> and X <sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl
45	acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
46	Y <sub>1</sub> and Y <sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
47	wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
48	NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
49	as defined above, or further, $Y_1$ and $X_2$ , $X_1$ and $Y_2$ , $X_1$ and $X_2$ may together form a ring
50	fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
51	heteroatoms selected from N, O or S;

with methyl chloroformate to give the compound of Formula XI.

17. A method for the preparation of compounds of Formula XII,

7 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

8 enantiomers, diastereomers or N-oxides, the method comprising:

9 reacting compounds of Formula VII

10
$$X_{2}$$

$$Y_{1}$$

$$12$$

$$13$$

$$X_{1}$$

$$Y_{2}$$

$$NH_{2}$$

$$NH_{2}$$

$$14$$
Formula VII

15 wherein

16 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

17 substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

21 (wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

22 (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>

23 alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

24 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

25  $(CH_2)_m$ -C(=O)R<sub>3</sub>

26

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28

29

[wherein m is an integer in the range of 0-2 and  $R_3$  can be optionally substituted  $R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the

ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through N and R<sub>q</sub> can be a 4-12 membered

30	(un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
31	from the group consisting of N, O and S wherein the ring can be attached to
32	(CH <sub>2</sub> ) <sub>m</sub> C(=O) through C) and wherein the substituents of R <sub>3</sub> can be one or more
33	of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
34	aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
35	optionally substituted amino (wherein the substituents are selected from C <sub>1</sub> -C <sub>6</sub>
36	alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
37	C(=O)NR <sub>5</sub> R <sub>6</sub> (wherein R <sub>5</sub> and R <sub>6</sub> are independently selected from hydrogen,
38	alkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, aryl, and aralkyl), optionally substituted
39	monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
40	optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
41	hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
42	heterocyclylalkyl];
43	R <sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR <sub>x</sub> R <sub>y</sub> wherein
44	$R_x$ and $R_y$ are the same as defined above;
45	X <sub>1</sub> and X <sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl
46	acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
47	Y <sub>1</sub> and Y <sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
48	wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
49	NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
50	as defined above, or further, $Y_1$ and $X_2$ , $X_1$ and $Y_2$ , $X_1$ and $X_2$ may together form a ring
51	fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
52	heteroatoms selected from N, O or S;
53	with thiocarbonyl diimidazole and 1,8-diazabicyclo[5.4.0]undec-7-one to give the
54	compound of Formula XII.

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18. A method for the preparation of compounds of Formula XIII,

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

enantiomers, diastereomers or N-oxides, the method comprising:

treating a compounds of Formula XII,

$$\begin{array}{c} X_2 \\ Y_1 \\ Y_2 \\ Y_2 \\ \hline \\ Formula XII \\ \end{array}$$

wherein

17 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

21 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

(wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

(wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>

alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

26  $(CH_2)_m$ - $C(=O)R_3$ 

[wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted

 $R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or

bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the

ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through N and R<sub>q</sub> can be a 4-12 membered

(un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected 31 32 from the group consisting of N, O and S wherein the ring can be attached to 33 (CH<sub>2</sub>)<sub>m</sub>C(=0) through C) and wherein the substituents of R<sub>3</sub> can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, 34 35 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> 36 37 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, C(=O)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, 38 39 alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, aryl, and aralkyl), optionally substituted 40 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the 41 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, 42 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or 43 heterocyclylalkyl]; 44 R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein 45  $R_x$  and  $R_y$  are the same as defined above; 46 X<sub>1</sub> and X<sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; 47 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl; Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR 48 49 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; 50 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same 51 as defined above, or further, Y<sub>1</sub> and X<sub>2</sub>, X<sub>1</sub> and Y<sub>2</sub>, X<sub>1</sub> and X<sub>2</sub> may together form a ring 52 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 53 heteroatoms selected from N. O or S; with a compound of Formula R<sub>11</sub>Z (wherein Z is halogen) to gives the compound 54 55 of Formula XIII (wherein R<sub>11</sub> is alkyl).

1 19. A method for the preparation of compounds of Formula XIV,

6 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

7 enantiomers, diastereomers or N-oxides, the method comprising:

reacting a compound of Formula VII

$$X_2$$
 $Y_1$ 
 $X_2$ 
 $X_1$ 
 $Y_2$ 
 $Y_1$ 
 $Y_2$ 
 $Y_2$ 
 $Y_1$ 
 $Y_2$ 
 $Y_2$ 
 $Y_1$ 
 $Y_2$ 
 $Y_1$ 
 $Y_2$ 
 $Y_2$ 
 $Y_1$ 
 $Y_2$ 
 $Y_2$ 
 $Y_1$ 
 $Y_2$ 
 $Y_1$ 
 $Y_2$ 
 $Y_2$ 
 $Y_2$ 
 $Y_1$ 
 $Y_2$ 
 $Y_2$ 
 $Y_2$ 
 $Y_2$ 
 $Y_1$ 
 $Y_2$ 
 $Y_2$ 
 $Y_3$ 
 $Y_4$ 
 $Y_4$ 
 $Y_4$ 
 $Y_4$ 
 $Y_5$ 
 $Y_5$ 

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21

8

wherein

R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heterocyclyl; (heterocyclyl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

16 (wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

17 (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>

alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

20  $(CH_2)_m$ - $C(=O)R_3$ 

[wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted

22	$R_p$ or $R_q$ (wherein $R_p$ can be a 4-12 membered (un)saturated monocyclic or
23	bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
24	ring can be attached to (CH <sub>2</sub> ) <sub>m</sub> C(=O) through N and R <sub>q</sub> can be a 4-12 membered
25	(un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
26	from the group consisting of N, O and S wherein the ring can be attached to
27	(CH <sub>2</sub> ) <sub>m</sub> C(=0) through C) and wherein the substituents of R <sub>3</sub> can be one or more
28	of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
29	aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
30	optionally substituted amino (wherein the substituents are selected from C1-C6
31	alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
32	C(=O)NR <sub>5</sub> R <sub>6</sub> (wherein R <sub>5</sub> and R <sub>6</sub> are independently selected from hydrogen,
33	alkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, aryl, and aralkyl), optionally substituted
34	monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
35	optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
36	hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
37	heterocyclylalkyl];
38	R <sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=0)NR <sub>x</sub> R <sub>y</sub> wherein
39	$R_x$ and $R_y$ are the same as defined above;
40	X <sub>1</sub> and X <sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl
41	acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
42	Y <sub>1</sub> and Y <sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
43	wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
44	NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
45	as defined above, or further, $Y_1$ and $X_2$ , $X_1$ and $Y_2$ , $X_1$ and $X_2$ may together form a ring
46	fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
47	heteroatoms selected from N, O or S;
48	with thiocarbonyl diimidazole and boron trifluoride etherate to give the compound
49	of Formula XIV.

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20. A method for the preparation of compounds of Formula XV,

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

9 enantiomers, diastereomers or N-oxides, the method comprising:

reacting compounds of Formula VII

$$X_2$$
 $Y_1$ 
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_2$ 
 $X_3$ 
 $X_4$ 
 $X_4$ 

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wherein

13 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

15 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

(wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

(wherein  $R_x$  and  $R_y$  can be independently selected from hydrogen, alkyl,  $C_{3-6}$ 

alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

22  $(CH_2)_m$ - $C(=O)R_3$ 

[wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted

24 R<sub>p</sub> or R<sub>q</sub> (wherein R<sub>p</sub> can be a 4-12 membered (un)saturated monocyclic or

25 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the

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ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through N and R<sub>q</sub> can be a 4-12 membered 26 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected 27 from the group consisting of N, O and S wherein the ring can be attached to 28 (CH<sub>2</sub>)<sub>m</sub>C(=O) through C) and wherein the substituents of R<sub>3</sub> can be one or more 29 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, 30 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, 31 optionally substituted amino (wherein the substituents are selected from C1-C6 32 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, 33 C(=O)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, 34 alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, aryl, and aralkyl), optionally substituted 35 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the 36 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, 37 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or 38 heterocyclylalkyl]; 39 -R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein 40  $R_x$  and  $R_y$  are the same as defined above; 41 X<sub>1</sub> and X<sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; 42 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl; 43 Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR 44 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; 45 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same 46 as defined above, or further, Y1 and X2, X1 and Y2, X1 and X2 may together form a ring 47 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 48 heteroatoms selected from N, O or S; 49 with compounds of Formula (a) R<sub>12</sub>COOH; (b) R<sub>12</sub>COCl or (c) R<sub>12</sub>COOC<sub>2</sub>H<sub>5</sub> to 50 give the compound of Formula XV (wherein R<sub>12</sub> is alkyl, cycloalkyl, aryl, 51 heteroaryl or heterocyclyl). 52

21. A method for the preparation of compounds of Formula XX,

$$X_{2}$$

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{2}$$

$$X_{1}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{1}$$

$$X_{2}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{6}$$

$$X_{1}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{6}$$

$$X_{7}$$

$$X_{8}$$

$$X_{1}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{6}$$

$$X_{7}$$

$$X_{8}$$

$$X_{1}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{6}$$

$$X_{7}$$

$$X_{8}$$

$$X_{8}$$

$$X_{8}$$

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{6}$$

$$X_{7}$$

$$X_{8}$$

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{1}$$

$$X_{2}$$

$$X_{1}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{6}$$

$$X_{7}$$

$$X_{8}$$

$$X_{8}$$

$$X_{8}$$

$$X_{1}$$

$$X_{1}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{1}$$

$$X_{2}$$

$$X_{3}$$

$$X_{4}$$

$$X_{5}$$

$$X_{7}$$

$$X_{8}$$

$$X_{9}$$

$$X_{9}$$

$$X_{9}$$

$$X_{9}$$

$$X_{9}$$

$$X_{9}$$

$$X_{9}$$

$$X_{9}$$

$$X_{9$$

7 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

8 enantiomers, diastereomers or N-oxides,

9 wherein

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10 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; 11 substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

12 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

15 (wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

16 (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>

alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

19  $(CH_2)_m$ - $C(=O)R_3$ 

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[wherein m is an integer in the range of 0-2 and  $R_3$  can be optionally substituted  $R_p$  or  $R_q$  (wherein  $R_p$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to  $(CH_2)_mC(=0)$  through N and  $R_q$  can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to  $(CH_2)_mC(=0)$  through C) and wherein the substituents of  $R_3$  can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, optionally substituted amino (wherein the substituents are selected from  $C_1$ - $C_6$  alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,  $C(=0)NR_5R_6$  (wherein  $R_5$  and  $R_6$  are independently selected from hydrogen,

alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, aryl, and aralkyl), optionally substituted 32 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the 33 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, 34 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or 35 heterocyclylalkyl]; 36 R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein 37  $R_x$  and  $R_y$  are the same as defined above; 38 39 X<sub>1</sub> and X<sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl; 40 41 Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; 42 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same 43 as defined above, or further, Y1 and X2, X1 and Y2, X1 and X2 may together form a ring 44 45 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 heteroatoms selected from N, O or S; and 46 R<sub>12</sub> is alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl; 47 48 the method comprising: reacting a compound of Formula IV with a compound of Formula XVI 49 50 51 52 53 54 55 Formula IV Formula XVI to give a compound of Formula XVII; 56 57 58  $(CH_2)_n$ 59 60 61

Formula XVII

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treating the compound of Formula XVII with potassium phthalamide to give a compound of Formula XVIII;

65 X 66 67 68 X 5

Y<sub>2</sub> Formula XVIII

treating the compound of Formula XVIII with a hydrazine hydrate to give a compound of Formula XIX; and

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74 75

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$$X_2$$
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_3$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_5$ 
 $X_6$ 
 $X_6$ 
 $X_7$ 
 $X_8$ 
 $X_8$ 

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Formula XIX

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treating the compound of Formula XIX with a compound of Formula  $R_{12}COCl$  or  $R_{12}COOH$  to give the compound of Formula XX.

1 22.

22. A method for the preparation of compounds of Formula XXIII,

2 3 4

$$X_1$$
 $Y_2$ 
Formula XXIII
 $R_{13}$ 

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- their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
- 9 enantiomers, diastereomers or N-oxides,
- 10 wherein
- 11 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;
- 12 substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'
- (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

14	aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);
15	aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH2)1-4OR'
16	(wherein R' is as defined above, but also including hydroxy); C(=O)NR <sub>x</sub> R <sub>y</sub>
17	(wherein $R_x$ and $R_y$ can be independently selected from hydrogen, alkyl, $C_{3-6}$
18	alkenyl, $C_{3-6}$ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
19	heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or
20	(CH2)m-C(=O)R3
21	[wherein m is an integer in the range of 0-2 and R <sub>3</sub> can be optionally substituted
22	$R_p$ or $R_q$ (wherein $R_p$ can be a 4-12 membered (un)saturated monocyclic or
23	bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
24	ring can be attached to (CH <sub>2</sub> ) <sub>m</sub> C(=O) through N and R <sub>q</sub> can be a 4-12 membered
25	(un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
26	from the group consisting of N, O and S wherein the ring can be attached to
27	(CH <sub>2</sub> ) <sub>m</sub> C(=O) through C) and wherein the substituents of R <sub>3</sub> can be one or more
28	of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
29	aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
30	optionally substituted amino (wherein the substituents are selected from C1-C6
31	alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
32	C(=O)NR <sub>5</sub> R <sub>6</sub> (wherein R <sub>5</sub> and R <sub>6</sub> are independently selected from hydrogen,
33	alkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, aryl, and aralkyl), optionally substituted
34	monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
35	optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
36	hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
37	heterocyclylalkyl];
38	R <sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR <sub>x</sub> R <sub>y</sub> wherein
39	$R_x$ and $R_y$ are the same as defined above;
40	X <sub>1</sub> and X <sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl
41	acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
42	Y <sub>1</sub> and Y <sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
43	wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
44	NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same

- 45 as defined above, or further, Y1 and X2, X1 and Y2, X1 and X2 may together form a ring
- fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 46
- heteroatoms selected from N, O or S; and 47
- R<sub>13</sub> is alkyl, aryl or heteroaryl; 48
- 49 the method comprising
- reacting compounds of Formula XXI with hydroxylamine hydrochloride to give 50
- compounds of Formula XXII, 51

52  
53 
$$R_{13}$$
  $N$   $R_{13}$  OH

54 Formula XXI Formula XXII

which on reaction with compounds of Formula VI (when Rr is COOH), 55

62 gives compounds of Formula XXIII.

> A method for the preparation of compounds of Formula XXIV, 23.

their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, 7

- enantiomers, diastereomers or N-oxides, 8
- 9 wherein

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- R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; 10
- substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR' 11
- (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, 12

13 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR' 14 (wherein R' is as defined above, but also including hydroxy); C(=0)NR<sub>x</sub>R<sub>y</sub> 15 16 (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub> 17 alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or 18 19  $(CH_2)_m - C(=O)R_3$ [wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted 20 R<sub>p</sub> or R<sub>q</sub> (wherein R<sub>p</sub> can be a 4-12 membered (un)saturated monocyclic or 21 bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the 22 ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through N and R<sub>q</sub> can be a 4-12 membered 23 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected 24 from the group consisting of N, O and S wherein the ring can be attached to 25 (CH<sub>2</sub>)<sub>m</sub>C(=O) through C) and wherein the substituents of R<sub>3</sub> can be one or more 26 27 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, 28 optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> 29 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, 30 C(=0)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, 31 alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, aryl, and aralkyl), optionally substituted 32 33 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the 34 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or 35 36 heterocyclylalkyl]; R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=0)NR<sub>x</sub>R<sub>y</sub> wherein 37 R<sub>x</sub> and R<sub>y</sub> are the same as defined above; 38 39 X<sub>1</sub> and X<sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl; 40 Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR 41 42 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same 43

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 $(CH_2)_m$ - $C(=O)R_3$ 

44 as defined above, or further,  $Y_1$  and  $X_2$ ,  $X_1$  and  $Y_2$ ,  $X_1$  and  $X_2$  may together form a ring 45 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 46 heteroatoms selected from N, O or S; 47 the method comprising: 48 reacting a compound of Formula VI (when Rr is CN) 49 50 51 52 53 with NH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>SH. HCl to give the compounds of Formula XXIV. 54 55 24. A method for the preparation of compounds of Formula XXV, 56 57 58 59 NHR<sub>14</sub> 60 Formula XXV 61 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, 62 enantiomers, diastereomers or N-oxides, 63 wherein 64 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; 65 substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR' 66 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, 67 aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl); 68 aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1.4</sub>OR' 69 (wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub> 70 (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub> 71 alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

[wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted

heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

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R<sub>p</sub> or R<sub>q</sub> (wherein R<sub>p</sub> can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=O) through N and R<sub>q</sub> can be a 4-12 membered (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected from the group consisting of N, O and S wherein the ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=0) through C) and wherein the substituents of R<sub>3</sub> can be one or more of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy, aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, 82 optionally substituted amino (wherein the substituents are selected from C1-C6 83 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, 84 C(=O)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, 85 alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, aryl, and aralkyl), optionally substituted 86 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the 87 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, 88 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or 89 heterocyclylalkyl]; 90 R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR<sub>x</sub>R<sub>y</sub> wherein 91 R<sub>x</sub> and R<sub>y</sub> are the same as defined above; 92  $X_1$  and  $X_2$  are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; 93 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl; 94 Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR 95 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; 96 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same 97 as defined above, or further, Y<sub>1</sub> and X<sub>2</sub>, X<sub>1</sub> and Y<sub>2</sub>, X<sub>1</sub> and X<sub>2</sub> may together form a ring 98 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 99 100 heteroatoms selected from N, O or S;

101 the method comprising:

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102 reacting a Formula VI

$$X_2$$
 $Y_1$ 
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_3$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_5$ 
 $X_5$ 
 $X_5$ 
 $X_5$ 
 $X_5$ 
 $X_5$ 

Formula VI

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(wherein Rr is COOH) with NH<sub>2</sub>NHCSNHR<sub>14</sub> (wherein R<sub>14</sub> represents hydrogen, alkyl or cycloalkyl) to give the compound of Formula XXV.

25. A method for the preparation of compounds of Formula XXVII,

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their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,
enantiomers, diastereomers or N-oxides,

10 wherein

11 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

13 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heterocyclyl; (heterocyclyl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

16 (wherein R' is as defined above, but also including hydroxy); C(=O)NR<sub>x</sub>R<sub>y</sub>

(wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>

alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

20  $(CH_2)_m$ - $C(=O)R_3$ 

[wherein m is an integer in the range of 0-2 and R<sub>3</sub> can be optionally substituted

22 R<sub>p</sub> or R<sub>q</sub> (wherein R<sub>p</sub> can be a 4-12 membered (un)saturated monocyclic or

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bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the 23 24 ring can be attached to (CH<sub>2</sub>)<sub>m</sub>C(=0) through N and R<sub>0</sub> can be a 4-12 membered 25 (un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected 26 from the group consisting of N, O and S wherein the ring can be attached to 27 (CH<sub>2</sub>)<sub>m</sub>C(=0) through C) and wherein the substituents of R<sub>3</sub> can be one or more 28 of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy. 29 aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl, 30 optionally substituted amino (wherein the substituents are selected from C<sub>1</sub>-C<sub>6</sub> 31 alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether, 32 C(=0)NR<sub>5</sub>R<sub>6</sub> (wherein R<sub>5</sub> and R<sub>6</sub> are independently selected from hydrogen, 33 alkyl, C<sub>3-6</sub> alkenyl, C<sub>3-6</sub> alkynyl, aryl, and aralkyl), optionally substituted 34 monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the 35 optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen, 36 hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or 37 heterocyclylalkyl]; 38 R<sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=0)NR<sub>x</sub>R<sub>y</sub> wherein 39  $R_x$  and  $R_y$  are the same as defined above; 40  $X_1$  and  $X_2$  are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; 41 acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl; 42 Y<sub>1</sub> and Y<sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR 43 wherein R is the same as defined earlier; SR wherein R is the same as defined earlier; 44 NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same 45 as defined above, or further, Y<sub>1</sub> and X<sub>2</sub>, X<sub>1</sub> and Y<sub>2</sub>, X<sub>1</sub> and X<sub>2</sub> may together form a ring 46 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3 47 heteroatoms selected from N, O or S;

48 the method comprising:

reacting a compound of Formula VI 49 50 51 52 53 54 Formula VI 55 (wherein Rr is CHO) with hydroxylamine hydrochloride to give a compound of 56 57 Formula XXVI; and 58 59 60 NOH 61 62 Formula XXVI 63 reacting the compound of Formula XXVI with methacrylonitrile to give the 64 65 compound of Formula XXVII. 1 26. A method for the preparation of compounds of Formula XXIX, 2 3 COCH<sub>3</sub> 4 5  $\dot{C}_2H_5$ 6 Formula XXIX 7 8 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates, 9 enantiomers, diastereomers or N-oxides, 10 wherein R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino; 11 12 substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR' 13 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

15	aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH2)1-4OR'
16	(wherein R' is as defined above, but also including hydroxy); C(=O)NR <sub>x</sub> R <sub>y</sub>
17	(wherein R <sub>x</sub> and R <sub>y</sub> can be independently selected from hydrogen, alkyl, C <sub>3-6</sub>
18	alkenyl, $C_{3-6}$ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
19	heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or
20	(CH2)m-C(=O)R3
21	[wherein m is an integer in the range of 0-2 and R <sub>3</sub> can be optionally substituted
22	$R_p$ or $R_q$ (wherein $R_p$ can be a 4-12 membered (un)saturated monocyclic or
23	bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
24	ring can be attached to (CH <sub>2</sub> ) <sub>m</sub> C(=O) through N and R <sub>q</sub> can be a 4-12 membered
25	(un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
26	from the group consisting of N, O and S wherein the ring can be attached to
27	(CH <sub>2</sub> ) <sub>m</sub> C(=0) through C) and wherein the substituents of R <sub>3</sub> can be one or more
28	of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
29	aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
30	optionally substituted amino (wherein the substituents are selected from C1-C6
31	alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
32	C(=O)NR <sub>5</sub> R <sub>6</sub> (wherein R <sub>5</sub> and R <sub>6</sub> are independently selected from hydrogen,
33	alkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, aryl, and aralkyl), optionally substituted
34	monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
35	optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
36	hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
37	heterocyclylalkyl];
38	R <sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR <sub>x</sub> R <sub>y</sub> wherein
39	$R_x$ and $R_y$ are the same as defined above;
40	X <sub>1</sub> and X <sub>2</sub> are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
41	acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
42	Y <sub>1</sub> and Y <sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
43	wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
44	NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
45	as defined above, or further, $Y_1$ and $X_2$ , $X_1$ and $Y_2$ , $X_1$ and $X_2$ may together form a ring

46 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3

47 heteroatoms selected from N, O or S;

48 the method comprising:

49 reacting a compound of Formula VIII

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with ethylmethylketone to give a compound of Formula XXVIII; and

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treating the compound of Formula XXVIII with acetic anhydride to give the compound of Formula XXIX.

27. A process for the preparation of compounds of Formula XXX,

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7 their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

- 8 enantiomers, diastereomers or N-oxides,
- 9 wherein

10 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

- substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'
- 12 (wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

13	aryi, araikyi, neterocyciyi, (neterocyciyi)aikyi, or (neteroaryi)aikyi);
14	aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH <sub>2</sub> ) <sub>1-4</sub> OR
15	(wherein R' is as defined above, but also including hydroxy); C(=O)NR <sub>x</sub> R <sub>y</sub>
16	(wherein $R_x$ and $R_y$ can be independently selected from hydrogen, alkyl, $C_{3-6}$
17	alkenyl, $C_{3-6}$ alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,
18	heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or
19	$(CH_2)_m$ - $C(=O)R_3$
20	[wherein m is an integer in the range of 0-2 and R <sub>3</sub> can be optionally substituted
21	$R_p$ or $R_q$ (wherein $R_p$ can be a 4-12 membered (un)saturated monocyclic or
22	bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
23	ring can be attached to (CH <sub>2</sub> ) <sub>m</sub> C(=O) through N and R <sub>q</sub> can be a 4-12 membered
24	(un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
25	from the group consisting of N, O and S wherein the ring can be attached to
26	$(CH_2)_mC(=0)$ through C) and wherein the substituents of $R_3$ can be one or more
27	of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
28	aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
29	optionally substituted amino (wherein the substituents are selected from C <sub>1</sub> -C <sub>6</sub>
30	alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether
31	C(=O)NR <sub>5</sub> R <sub>6</sub> (wherein R <sub>5</sub> and R <sub>6</sub> are independently selected from hydrogen,
32	alkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, aryl, and aralkyl), optionally substituted
33	monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
34	optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
35	hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
36	heterocyclylalkyl];
37	R <sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR <sub>x</sub> R <sub>y</sub> wherein
38	$R_x$ and $R_y$ are the same as defined above;
39	$X_1$ and $X_2$ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl
10	acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
<b>1</b> 1	Y <sub>1</sub> and Y <sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
12	wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
13	NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same

as defined above, or further,  $Y_1$  and  $X_2$ ,  $X_1$  and  $Y_2$ ,  $X_1$  and  $X_2$  may together form a ring

45 fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3

46 heteroatoms selected from N, O or S;

the method comprising reacting a compound of Formula VIII

$$X_2$$
 $Y_1$ 
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_1$ 
 $X_2$ 
 $X_3$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_4$ 
 $X_5$ 
 $X_5$ 

Formula VIII

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with carbon disulphide to give the compound of Formula XXX.

28. A method for the preparation of compounds of Formula XXXI,

$$X_{1}$$
 $Y_{1}$ 
 $X_{2}$ 
 $Y_{1}$ 
 $X_{2}$ 
 $X_{3}$ 
 $X_{4}$ 
 $X_{2}$ 
 $X_{2}$ 
 $X_{3}$ 
 $X_{4}$ 
 $X_{5}$ 
 $X_{5}$ 
 $X_{1}$ 
 $X_{2}$ 
 $X_{3}$ 
 $X_{4}$ 
 $X_{5}$ 
 $X_{5}$ 

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their pharmaceutically acceptable salts, pharmaceutically acceptable solvates,

enantiomers, diastereomers or N-oxides,

10 wherein

11 R<sub>1</sub> is selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl; cyano; nitro; amino;

substituted amino; hydroxyl; alkoxy; aryloxy; COR'; COOR'

(wherein R' can be hydrogen, alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl,

aryl, aralkyl, heterocyclyl, (heterocyclyl)alkyl, or (heteroaryl)alkyl);

aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl) alkyl; (heterocyclyl) alkyl; (CH<sub>2</sub>)<sub>1-4</sub>OR'

16 (wherein R' is as defined above, but also including hydroxy); C(=0)NR<sub>x</sub>R<sub>y</sub>

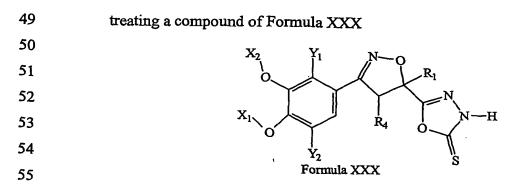
17 (wherein R<sub>x</sub> and R<sub>y</sub> can be independently selected from hydrogen, alkyl, C<sub>3-6</sub>

alkenyl, C<sub>3-6</sub> alkynyl, (un)saturated cycloalkyl, aryl, aralkyl, heteroaryl,

19 heterocyclyl, heteroarylalkyl, or heterocyclylalkyl); or

20	$(CH_2)_m$ - $C(=O)R_3$
21	[wherein m is an integer in the range of 0-2 and R <sub>3</sub> can be optionally substituted
22	$R_p$ or $R_q$ (wherein $R_p$ can be a 4-12 membered (un)saturated monocyclic or
23	bicyclic ring containing 1-4 heteroatom(s) selected from N, O and S wherein the
24	ring can be attached to (CH <sub>2</sub> ) <sub>m</sub> C(=0) through N and R <sub>q</sub> can be a 4-12 membered
25	(un)saturated monocyclic or bicyclic ring containing 0-4 heteroatom(s) selected
26	from the group consisting of N, O and S wherein the ring can be attached to
27	(CH <sub>2</sub> ) <sub>m</sub> C(=O) through C) and wherein the substituents of R <sub>3</sub> can be one or more
28	of: alkyl, alkenyl, alkynyl, (un)saturated cycloalkyl, halogen, hydroxyl, alkoxy,
29	aryloxy, nitro, cyano, amino, substituted amino, hydroxyalkyl, oxo, acyl,
30	optionally substituted amino (wherein the substituents are selected from C <sub>1</sub> -C <sub>6</sub>
31	alkyl, aryl, aralkyl, or cycloalkyl), aryl, carboxyl, alkaryl, carbamoyl, alkyl ether,
32	C(=O)NR <sub>5</sub> R <sub>6</sub> (wherein R <sub>5</sub> and R <sub>6</sub> are independently selected from hydrogen,
33	alkyl, $C_{3-6}$ alkenyl, $C_{3-6}$ alkynyl, aryl, and aralkyl), optionally substituted
34	monocyclic or bicyclic 4-12 membered carbocyclic ring system (wherein the
35	optional substituent(s) is/are selected from alkyl, alkenyl, alkynyl, halogen,
36	hydroxyl, and alkoxy), heteroaryl, heterocyclyl, heteroarylalkyl, or
37	heterocyclylalkyl];
38	R <sub>4</sub> is selected from: hydrogen; alkyl; halogen; cyano; carboxy; or C(=O)NR <sub>x</sub> R <sub>y</sub> wherein
39	R <sub>x</sub> and R <sub>y</sub> are the same as defined above;
40	$X_1$ and $X_2$ are independently selected from: hydrogen; alkyl; alkenyl; alkynyl; cycloalkyl;
41	acyl; aryl; aralkyl; heteroaryl; heterocyclyl; (heteroaryl)alkyl; or (heterocyclyl)alkyl;
42	Y <sub>1</sub> and Y <sub>2</sub> are independently selected from: hydrogen; alkyl; nitro; cyano; halogen; OR
43	wherein R is the same as defined earlier; SR wherein R is the same as defined earlier;
44	NHR wherein R is the same as defined earlier; COOR'; or COR' wherein R' is the same
45	as defined above, or further, $Y_1$ and $X_2$ , $X_1$ and $Y_2$ , $X_1$ and $X_2$ may together form a ring
46	fused with the ring A containing 3-5 carbon atoms within the ring and having 1-3
47	heteroatoms selected from N, O or S;
48	the method comprising:

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with hydrazine hydrate to give the compounds of Formula XXXI.